

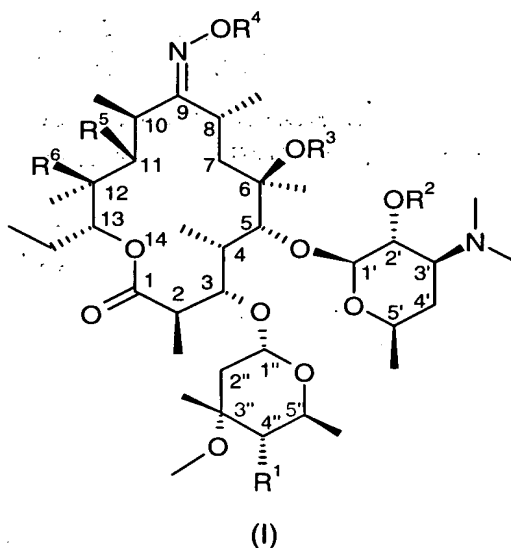
### Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

#### Claims

##### What is claimed is:

1. (Original) A compound of general formula (I)



wherein

R<sup>1</sup> is OC(O)(CH<sub>2</sub>)<sub>m</sub>XR<sup>7</sup>;

R<sup>2</sup> is hydrogen or a hydroxyl protecting group;

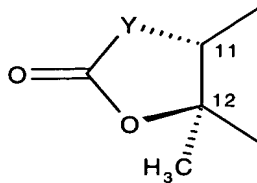
R<sup>3</sup> is hydrogen, C<sub>1-4</sub>alkyl or C<sub>3-6</sub>alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R<sup>4</sup> is hydrogen, C<sub>1-4</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-6</sub>alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, OR<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, NR<sup>8</sup>R<sup>9</sup>, CONR<sup>8</sup>R<sup>9</sup>, halogen and cyano;

R<sup>5</sup> is hydroxy, C<sub>3-6</sub>alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or O(CH<sub>2</sub>)<sub>p</sub>O(CH<sub>2</sub>)<sub>q</sub>R<sup>10</sup>,

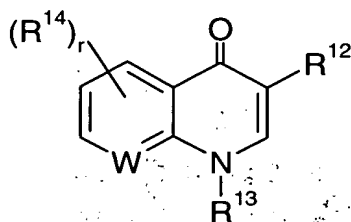
R<sup>6</sup> is hydroxy, or

R<sup>5</sup> and R<sup>6</sup> taken together with the intervening atoms form a cyclic group having the following structure:

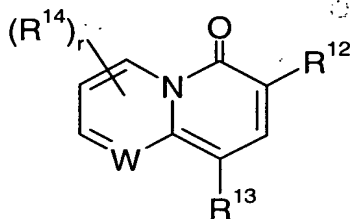


wherein Y is a bivalent radical selected from  $-\text{CH}_2-$ ,  $-\text{CH}(\text{CN})-$ ,  $-\text{O}-$ ,  $-\text{N}(\text{R}^{11})-$  and  $-\text{CH}(\text{SR}^{11})-$ ;

$\text{R}^7$  is a heterocyclic group having the following structure:



or



$\text{R}^8$  and  $\text{R}^9$  are each independently selected from hydrogen and  $\text{C}_{1-4}$ alkyl;

$\text{R}^{10}$  is hydrogen or  $\text{NR}^8\text{R}^9$ ;

$\text{R}^{11}$  is hydrogen or  $\text{C}_{1-4}$ alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

$\text{R}^{12}$  is hydrogen,  $\text{C}(\text{O})\text{OR}^{15}$ ,  $\text{C}(\text{O})\text{NHR}^{15}$  or  $\text{C}(\text{O})\text{CH}_2\text{NO}_2$ ;

$\text{R}^{13}$  is hydrogen,  $\text{C}_{1-4}$ alkyl optionally substituted by hydroxy or  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{3-7}$ cycloalkyl, or optionally substituted phenyl or benzyl;

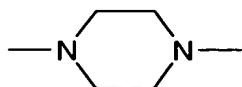
$\text{R}^{14}$  is halogen,  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ thioalkyl,  $\text{C}_{1-4}$ alkoxy,  $\text{NH}_2$ ,  $\text{NH}(\text{C}_{1-4}\text{alkyl})$  or  $\text{N}(\text{C}_{1-4}\text{alkyl})_2$ ;

$\text{R}^{15}$  is hydrogen or  $\text{C}_{1-4}$ alkyl optionally substituted by up to three groups independently selected from halogen,  $\text{C}_{1-4}$ alkoxy,  $\text{OC}(\text{O})\text{C}_{1-4}\text{alkyl}$  and  $\text{OC}(\text{O})\text{OC}_{1-4}\text{alkyl}$ ;

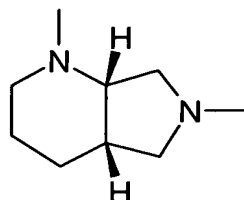
$\text{R}^{16}$  is hydrogen,  $\text{C}_{1-4}$ alkyl,  $\text{C}_{3-7}$ cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

$\text{R}^{17}$  is hydrogen or  $\text{R}^{14}$ , or  $\text{R}^{17}$  and  $\text{R}^{13}$  are linked to form the bivalent radical  $-\text{O}(\text{CH}_2)_2-$  or  $-(\text{CH}_2)_v-$ ;

X is  $-\text{U}(\text{CH}_2)_s\text{Z}-$  or X is a group selected from:



and



U and Z independently are a divalent radical selected from  $-\text{N}(\text{R}^{16})-$ ,  $-\text{O}-$ ,  $-\text{S}(\text{O})_t-$ ,  $-\text{N}(\text{R}^{16})\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{N}(\text{R}^{16})-$  and  $-\text{N}[\text{C}(\text{O})\text{R}^{16}]-$ ;

W is  $\text{CR}^{17}$  or a nitrogen atom;

m is 0 or an integer from 1 to 5;

n, r and t are each independently selected from 0, 1 and 2;

p and q are each independently selected from 1 to 6 ;

s is an integer from 2 to 8; and

v is 2 or 3;

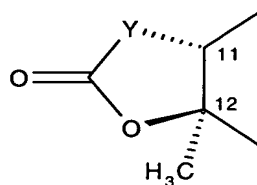
and pharmaceutically acceptable derivatives thereof.

2. (Original) A compound according to claim 1 wherein  $\text{R}^2$  is hydrogen.

3. (Currently amended) A compound according to claim 1 ~~or 2~~ wherein  $\text{R}^3$  is hydrogen.

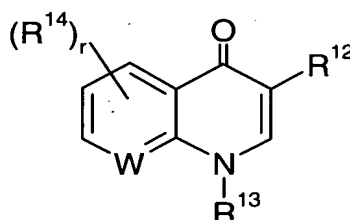
4. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 3 wherein  $\text{R}^4$  is hydrogen or  $\text{C}_{1-4}$ alkyl optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heteroaryl,  $\text{OR}^8$ ,  $\text{S}(\text{O})_n\text{R}^8$ ,  $\text{NR}^8\text{R}^9$ , halogen and cyano.

5. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 4 wherein  $\text{R}^5$  is hydroxy or  $\text{O}(\text{CH}_2)_p\text{O}(\text{CH}_2)_q\text{R}^{10}$  and  $\text{R}^6$  is hydroxy, or  $\text{R}^5$  and  $\text{R}^6$  taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is the bivalent radical -O-.

6. (Currently amended) A compound according to ~~any one of the preceding claims~~  
claim 5 wherein R<sup>7</sup> is a heterocyclic group having the following structure:



wherein W is CR<sup>17</sup> where R<sup>17</sup> is hydrogen.

7. (Currently amended) A compound according to ~~any one of the preceding claims~~  
claim 6 wherein X is -U(CH<sub>2</sub>)<sub>5</sub>Z- wherein U and Z are independently -NH- or -O-.

8. Cancelled

9. (Original) A compound selected from:

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11-O-(2-dimethylaminoethoxymethyl)-(9E)-methoximino erythromycin A,

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-O-(2-propyl)oximino erythromycin A,

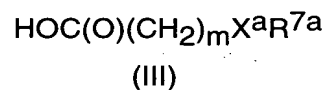
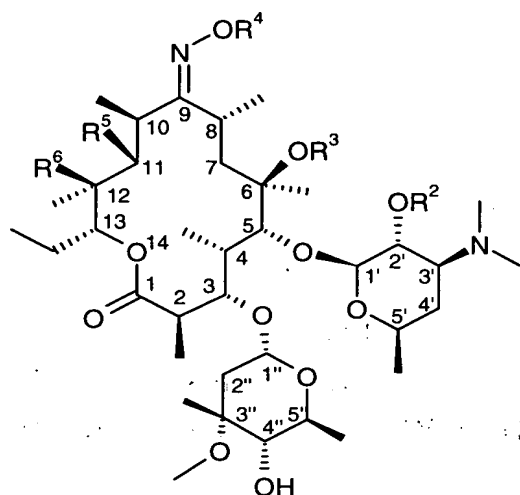
4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-methoximino erythromycin A, and

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-O-(ethoxymethyl)oximino erythromycin A,

or a pharmaceutically acceptable derivative thereof.

10. (Original) A process for the preparation of a compound as claimed in claim 1 which comprises:

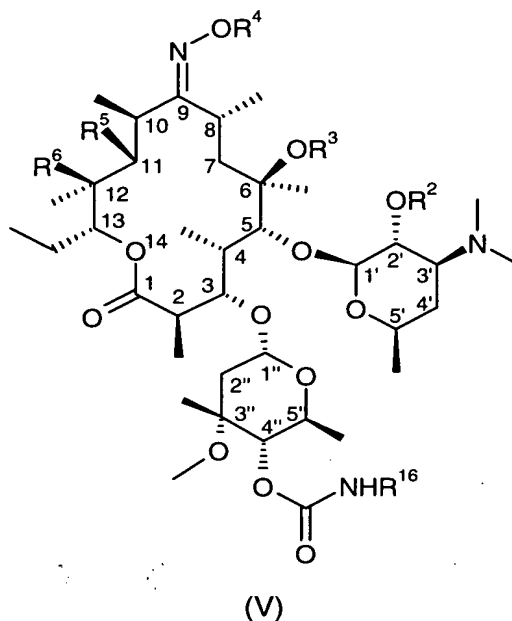
a) reacting a compound of formula (II)



with a suitable activated derivative of the acid (III), wherein  $m$  is an integer 1 to 5,  $\text{X}^a$  and  $\text{R}^{7a}$  are  $\text{X}$  and  $\text{R}^7$  as defined in claim 1 or groups convertible to  $\text{X}$  and  $\text{R}^7$ , to produce a compound of formula (I) wherein  $m$  is an integer 1 to 5;

b) reacting a compound of formula (II), in which the 4'' hydroxy is suitably activated, with a compound of formula  $\text{X}^a\text{R}^{7a}$  (IV), wherein  $\text{R}^{7a}$  is  $\text{R}^{7a}$  as defined in claim 1 or a group convertible to  $\text{R}^7$ ,  $s$  and  $Z$  have the meanings defined in claim 1 and  $\text{X}^a$  is  $-\text{U}(\text{CH}_2)_s\text{Z}-$  or a group convertible to  $-\text{U}(\text{CH}_2)_s\text{Z}-$ , in which  $\text{U}$  is a group selected from selected from  $-\text{N}(\text{R}^{16})-$ ,  $-\text{O}-$ , and  $-\text{S}-$ , to produce a compound of formula (I) wherein  $m$  is 0 and  $\text{U}$  is a group selected from  $-\text{N}(\text{R}^{16})-$ ,  $-\text{O}-$  and  $-\text{S}-$ ;

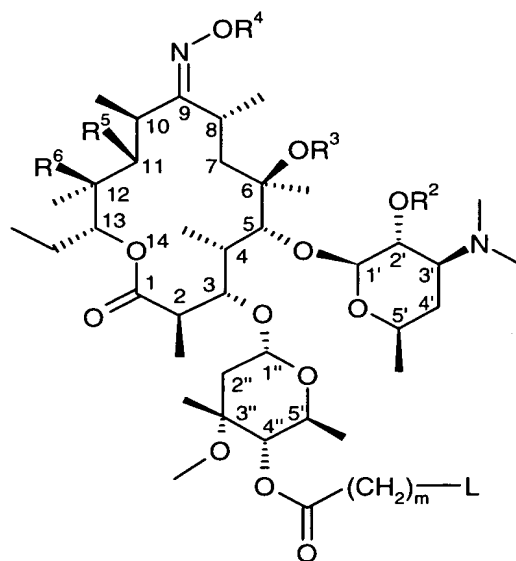
c) reacting a compound of formula (V)



wherein  $R^{16}$  has the meaning defined in claim 1 with a suitable activated derivative of the carboxylic acid  $\text{HOC(O)(CH}_2)_s\text{Z}^a R^{7a}$  (VI), wherein  $R^{7a}$  and  $Z^a$  are  $R^7$  and  $Z$  as defined in claim 1 or groups convertible to  $R^7$  and  $Z$ , to produce a compound of formula (I) wherein  $m$  is 0 and  $U$  is  $-\text{N}(R^{16})\text{C(O)}-$ ;

d) reacting a compound of formula (II) with a suitably activated derivative of the carboxylic acid  $\text{HOC(O)C(O)N}(R^{16})(\text{CH}_2)_s\text{Z}^a R^{7a}$  (VIIb) to produce a compound of formula (I) wherein  $m$  is 0 and  $U$  is  $-\text{C(O)N}(R^{16})-$ ;

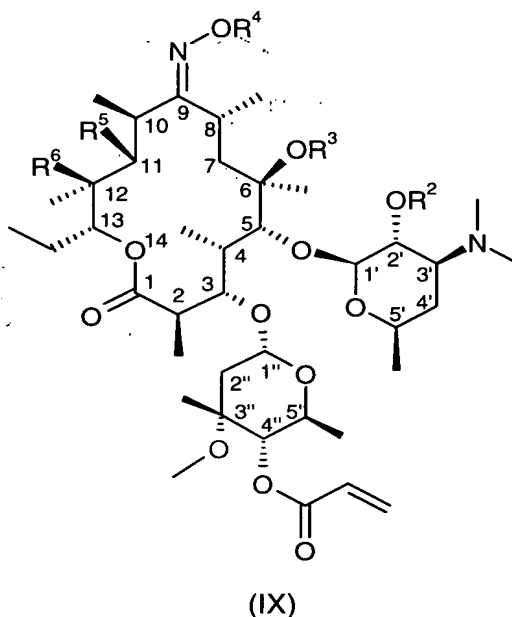
e) reacting a compound of formula (VII)



(VII)

with a compound of formula  $X^aR^{7a}$  (IV), wherein  $R^{7a}$  and  $X^a$  are  $R^7$  and  $X$  as defined in claim 1 or groups convertible to  $R^7$  and  $X$ ,  $U$  is a group selected from - $N(R^{16})$ -, -O- and -S-, and  $L$  is suitable leaving group, to produce a compound of formula (I) wherein  $m$  is 1 to 5 and  $U$  is a group selected from - $N(R^{16})$ -, -O- and -S-;  
 or

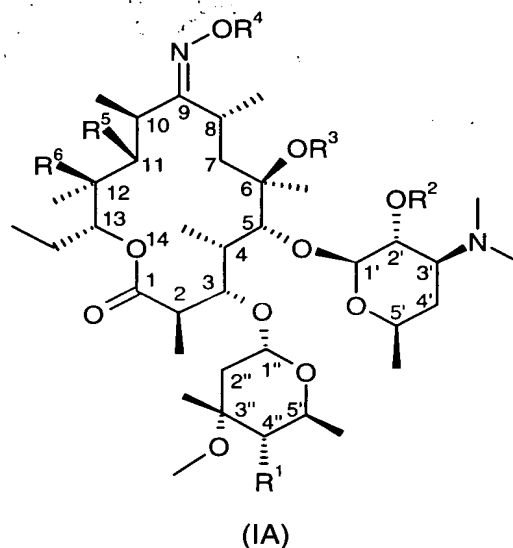
f) reacting a compound of formula (IX), with a compound of formula  $X^aR^{7a}$  (IV),



14. (Currently amended) A pharmaceutical composition comprising a compound as ~~claimed any one of claims 1 to 9~~ according to claim 1 or a pharmaceutically acceptable derivative thereof in admixture with one or more pharmaceutically acceptable carriers or excipients.

15. (Currently amended) A method for the treatment of the human or non-human animal body to combat microbial infection comprising administration of an effective amount of a compound ~~as claimed in any one of claims 1 to 9~~ according to claim 1 or a pharmaceutically acceptable derivative thereof.

16. A compound of general formula (IA)



wherein

R<sup>1</sup> is OC(O)(CH<sub>2</sub>)<sub>m</sub>XR<sup>7</sup>;

R<sup>2</sup> is hydrogen or a hydroxyl protecting group;

R<sup>3</sup> is hydrogen, C<sub>1-4</sub>alkyl or C<sub>3-6</sub>alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

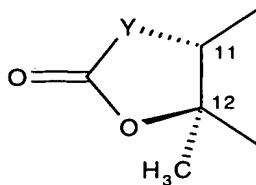
R<sup>4</sup> is hydrogen, C<sub>1-4</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-6</sub>alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, OR<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, NR<sup>8</sup>R<sup>9</sup>, CONR<sup>8</sup>R<sup>9</sup>, halogen and cyano;

R<sup>5</sup> is hydroxy, C<sub>3-6</sub>alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl or O(CH<sub>2</sub>)<sub>p</sub>O(CH<sub>2</sub>)<sub>q</sub>R<sup>10</sup>,

R<sup>6</sup> is hydroxy, or

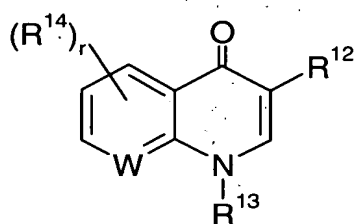


R<sup>5</sup> and R<sup>6</sup> taken together with the intervening atoms form a cyclic group having the following structure:

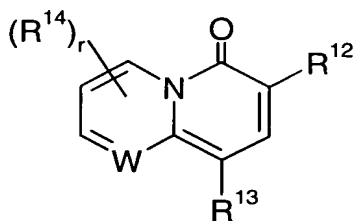


wherein Y is a bivalent radical selected from -CH<sub>2</sub>-, -CH(CN)-, -O-, -N(R<sup>11</sup>)- and -CH(SR<sub>8</sub>)-;

R<sup>7</sup> is a heterocyclic group having the following structure:



or



R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen and C<sub>1-4</sub>alkyl;

R<sup>10</sup> is hydrogen or NR<sup>8</sup>R<sup>9</sup>;

R<sup>11</sup> is hydrogen or C<sub>1-4</sub>alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R<sup>12</sup> is hydrogen, C(O)OR<sup>15</sup>, C(O)NHR<sup>15</sup> or C(O)CH<sub>2</sub>NO<sub>2</sub>;

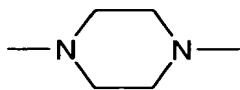
R<sup>13</sup> is hydrogen, C<sub>1-4</sub>alkyl, C<sub>3-7</sub>cycloalkyl, or optionally substituted phenyl or benzyl;

R<sup>14</sup> is halogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>thioalkyl, C<sub>1-4</sub>alkoxy, NH<sub>2</sub>, NH(C<sub>1-4</sub>alkyl) or N(C<sub>1-4</sub>alkyl)<sub>2</sub>;

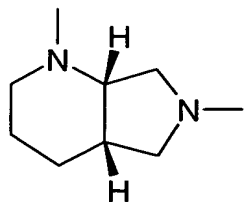
R<sup>15</sup> is hydrogen or C<sub>1-4</sub>alkyl;

R<sup>16</sup> is hydrogen, C<sub>1-4</sub>alkyl, C<sub>3-7</sub>cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

X is -U(CH<sub>2</sub>)<sub>5</sub>Z- or X is a group selected from:



and



U and Z independently are a divalent radical selected from  $-N(R^{16})-$ ,  $-O-$ ,  $-S(O)_t-$ ,  $-N(R^{16})C(O)-$ ,  $-C(O)N(R^{16})-$  and  $-N[C(O)R^{16}]-$ ;

W is a carbon or a nitrogen atom;

m is 0 or an integer from 1 to 5;

n, r and t are each independently selected from 0, 1 and 2;

p and q are each independently selected from 1 and 2; and

s is an integer from 2 to 8;

and pharmaceutically acceptable salts and solvates thereof.